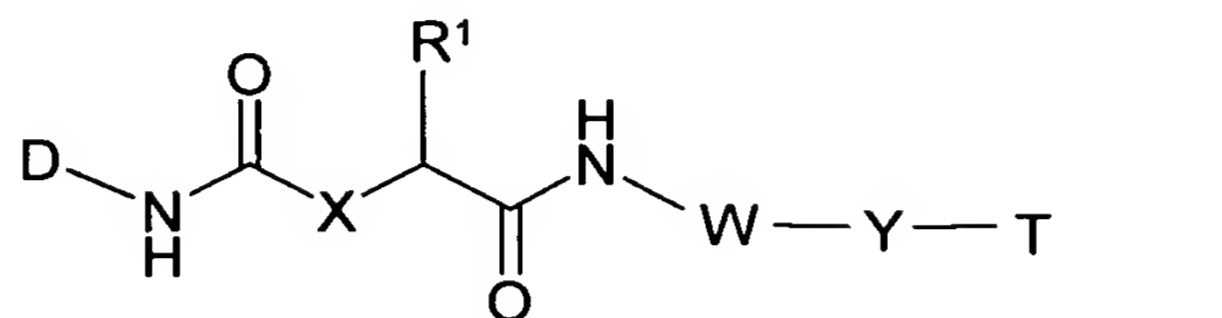


Patent Claims

1. Compounds of the formula I

5



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in which

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D denotes phenyl or pyridyl, each of which is unsubstituted or mono- or polysubstituted by Hal, A, OR², N(R²)₂, NO₂, CN, COOR² or CON(R²)₂,

20

R¹ denotes A, which is mono-, di- or trisubstituted by S(O)_mR², SO₂N(R²)₂, SO₃R², S(=O)(=NR²)R², NR²SO₂R², OSO₂R², OSO₂N(R²)₂ or PO(OR²)₂ and may additionally be mono- or disubstituted by OR³, N(R³)₂, CN, COOR³ or CON(R³)₂,

R² denotes H, A, -[C(R³)₂]_n-Ar', -[C(R³)₂]_n-Het', -[C(R³)₂]_n-cycloalkyl, -[C(R³)₂]_n-N(R³)₂ or -[C(R³)₂]_n-OR³,

R³ denotes H or A,

W denotes -[C(R³)₂]_n-,

25

X denotes NR³ or O,

Y denotes alkylene, cycloalkylene, Het-diyl or Ar-diyl,

T denotes a mono- or bicyclic saturated, unsaturated or aromatic carbo- or heterocycle having 0 to 4 N, O and/or S

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atoms, which may be mono-, di- or trisubstituted by =O, R², Hal, A, -[C(R³)₂]_n-Ar, -[C(R³)₂]_n-Het, -[C(R³)₂]_n-cycloalkyl, OR², N(R²)₂, NO₂, CN, COOR², CON(R²)₂, NR²COA, NR²CON(R²)₂, NR²SO₂A, COR², SO₂NR² and/or S(O)_nA, or N(R²)₂

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and, if Y = piperidine-1,4-diyl, also R² or cycloalkyl,

	A	denotes unbranched or branched alkyl having 1-10 C atoms, in which one or two CH ₂ groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or also 1-7 H atoms may be replaced by F,
5	Ar	denotes phenyl, naphthyl or biphenyl, each of which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR ² , N(R ²) ₂ , NO ₂ , CN, COOR ² , CON(R ²) ₂ , NR ² COA, NR ² SO ₂ A, COR ² , SO ₂ N(R ²) ₂ , -[C(R ³) ₂] _n -COOR ² , -O-[C(R ³) ₂] _o -COOR ² , SO ₃ H or S(O) _n A,
10	Ar'	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR ³ , N(R ³) ₂ , NO ₂ , CN, COOR ³ , CON(R ³) ₂ , NR ³ COA, NR ³ CON(R ³) ₂ , NR ³ SO ₂ A, COR ³ , SO ₂ N(R ³) ₂ , S(O) _n A, -[C(R ³) ₂] _n -COOR ³ or -O-[C(R ³) ₂] _o -COOR ³ ,
15	Het	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono-, di- or trisubstituted
20		by carbonyl oxygen (=O), =S, =N(R ²) ₂ , Hal, A, -[C(R ³) ₂] _n -Ar, -[C(R ³) ₂] _n -Het', -[C(R ³) ₂] _n -cycloalkyl, -[C(R ³) ₂] _n -OR ² , -[C(R ³) ₂] _n -N(R ³) ₂ , NO ₂ , CN, -[C(R ³) ₂] _n -COOR ² , -[C(R ³) ₂] _n -CON(R ²) ₂ , -[C(R ³) ₂] _n -NR ² COA, NR ² CON(R ²) ₂ ,
25		-[C(R ³) ₂] _n -NR ² SO ₂ A, COR ² , SO ₂ N(R ²) ₂ and/or S(O) _n A,
30	Het'	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms, which may be unsubstituted or mono- or disubstituted by carbonyl oxygen, =S, =N(R ³) ₂ , Hal, A, OR ³ , N(R ³) ₂ , NO ₂ , CN, COOR ³ , CON(R ³) ₂ , NR ³ COA, NR ³ CON(R ³) ₂ , NR ³ SO ₂ A, COR ³ , SO ₂ N(R ³) ₂ and/or S(O) _n A,
	Hal	denotes F, Cl, Br or I,
35	m	denotes 1 or 2,
	n	denotes 0, 1 or 2,
	o	denotes 1, 2 or 3,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 2. Compounds according to Claim 1, in which
 D denotes phenyl which is unsubstituted or mono- or disub-
 stituted by Hal, A, OR² or COOR², or pyridyl which is
 unsubstituted or monosubstituted by Hal,
 and pharmaceutically usable derivatives, solvates and stereoisomers
10 thereof, including mixtures thereof in all ratios.
3. Compounds according to Claim 1 or 2, in which
 D denotes phenyl which is monosubstituted by Hal,
15 and pharmaceutically usable derivatives, solvates and stereoisomers
 thereof, including mixtures thereof in all ratios.
4. Compounds according to one or more of Claims 1-3, in which
20 R² denotes H or alkyl having 1, 2, 3, 4, 5 or 6 C atoms,
 and pharmaceutically usable derivatives, solvates and stereoisomers
 thereof, including mixtures thereof in all ratios.
5. Compounds according to one or more of Claims 1-4, in which
25 Het denotes a mono- or bicyclic saturated, unsaturated or aro-
 matic heterocycle having 1 to 2 N, O and/or S atoms,
 which may be unsubstituted or mono- or disubstituted by
 carbonyl oxygen, OH or OA,
30 and pharmaceutically usable derivatives, solvates and stereoisomers
 thereof, including mixtures thereof in all ratios.
6. Compounds according to one or more of Claims 1-5, in which
35 Y denotes Ar-diyl,
 and pharmaceutically usable derivatives, solvates and stereoisomers
 thereof, including mixtures thereof in all ratios.

7. Compounds according to one or more of Claims 1-8, in which
Ar denotes phenyl which is unsubstituted or mono-, di- or
trisubstituted by Hal, A, OR², SO₂A, SO₂NH₂, COOR² or
CN,
and pharmaceutically usable derivatives, solvates and stereoisomers
thereof, including mixtures thereof in all ratios.
8. Compounds according to one or more of Claims 1-7, in which
R¹ denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms which is
monosubstituted by S(O)_mR², SO₂N(R²)₂, SO₃R²,
S(=O)(=NR²)R², NR²SO₂R², OSO₂R², OSO₂N(R²)₂ or
PO(OR²)₂,
and pharmaceutically usable derivatives, solvates and stereoisomers
thereof, including mixtures thereof in all ratios.
9. Compounds according to one or more of Claims 1-8, in which
X denotes NH or O,
and pharmaceutically usable derivatives, solvates and stereoisomers
thereof, including mixtures thereof in all ratios.
10. Compounds according to one or more of Claims 1-9, in which
T denotes a mono- or bicyclic saturated, unsaturated or aro-
matic heterocycle having 1 to 2 N and/or O atoms, which may
be mono- or disubstituted by =O, OH or OA,
or N(R²)₂
and, if Y = piperidine-1,4-diyl, also R² or cycloalkyl,
and pharmaceutically usable derivatives, solvates and stereoisomers
thereof, including mixtures thereof in all ratios.
11. Compounds according to one or more of Claims 1-10, in which

- Y denotes phenylene which is unsubstituted or monosubstituted by A,
and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
- 5
12. Compounds according to one or more of Claims 1-11, in which
W denotes absent,
and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
- 10
13. Compounds according to one or more of Claims 1-12, in which
D denotes phenyl which is monosubstituted by Hal,
R¹ denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms which is monosubstituted by S(O)_mR², SO₂N(R²)₂, SO₃R², S(=O)(=NR²)R², NR²SO₂R², OSO₂R², OSO₂N(R²)₂ or PO(OR²)₂,
- 15
- R² denotes H or alkyl having 1, 2, 3, 4, 5 or 6 C atoms,
W denotes -(CH₂)_n-,
X denotes NH or O,
Y denotes Ar-diyl,
T denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 2 N and/or O atoms which is mono- or disubstituted by =O, or N(R²)₂
and, if Y = piperidine-1,4-diyl, also R² or cycloalkyl,
- 20
- 25
- 30 A denotes unbranched or branched alkyl having 1-10 C atoms, in which one or two CH₂ groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or also 1-7 H atoms may be replaced by F,
- 35 Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR², SO₂A, SO₂NH₂, COOR² or CN,

Hal denotes F, Cl, Br or I,

m denotes 1 or 2,

n denotes 0, 1 or 2,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

14. Compounds according to one or more of Claims 1-13, in which

D denotes phenyl which is monosubstituted by Hal,

R¹ denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms which is monosubstituted by S(O)_mR², SO₂N(R²)₂, SO₃R², S(=O)(=NR²)R², NR²SO₂R², OSO₂R², OSO₂N(R²)₂ or PO(OR²)₂,

R² denotes H or alkyl having 1, 2, 3, 4, 5 or 6 C atoms,

W denotes -(CH₂)_n-,

X denotes NH or O,

Y denotes Ar-diyl,

T denotes piperidin-1-yl, 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, pyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, morpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-hydroxy-6-oxopiperazin-1-yl, 2-methoxy-6-oxopiperazin-1-yl, 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

or N(R²)₂

and, if Y = piperidine-1,4-diyl, also R² or cycloalkyl,

A denotes unbranched or branched alkyl having 1-10 C atoms, in which one or two CH₂ groups may be replaced

by O or S atoms and/or by -CH=CH- groups and/or also
1-7 H atoms may be replaced by F,

Ar denotes phenyl which is unsubstituted or mono-, di- or
trisubstituted by Hal, A, OR², SO₂A, SO₂NH₂, COOR² or
CN,

Hal denotes F, Cl, Br or I,

m denotes 1 or 2,

n denotes 0, 1 or 2,

and pharmaceutically usable derivatives, solvates and stereoisomers
thereof, including mixtures thereof in all ratios.

15. Compounds according to one or more of Claims 1-14, in which

D denotes phenyl which is monosubstituted by Hal,

R¹ denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms which is
monosubstituted by S(O)_mR², SO₂N(R²)₂, SO₃R²,
S(=O)(=NR²)R², NR²SO₂R², OSO₂R², OSO₂N(R²)₂ or
PO(OR²)₂,

R² denotes H or alkyl having 1, 2, 3, 4, 5 or 6 C atoms,

W denotes -(CH₂)_n-,

X denotes NH or O,

Y denotes phenylene which is unsubstituted or monosubsti-
tuted by A,

T denotes piperidin-1-yl, 2-oxopiperidin-1-yl, 2-oxopyrrolidin-
1-yl, pyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-
4-yl, morpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2,6-dioxopiperi-
din-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-
dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-
pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl),
2-hydroxy-6-oxopiperazin-1-yl, 2-methoxy-6-oxopiperazin-
1-yl, 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-

pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,
or N(R²)₂

and, if Y = piperidine-1,4-diyl, also R² or cycloalkyl,

- 5 A denotes unbranched or branched alkyl having 1-10 C atoms, in which one or two CH₂ groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or also 1-7 H atoms may be replaced by F,
- 10 Y denotes phenylene which is unsubstituted or monosubstituted by A,
- Hal denotes F, Cl, Br or I,
- m denotes 1 or 2,
- 15 n denotes 0, 1 or 2,
- and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

20 16. Compounds according to Claim 1

2-[3-(4-chlorophenyl)ureido]-*N*-[4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]-4-methanesulfonylbutyramide,

25 2-[3-(4-chlorophenyl)ureido]-*N*-[4-(2-oxo-2*H*-pyrazin-1-yl)-phenyl]-4-methanesulfonylbutyramide,

2-[3-(4-chlorophenyl)ureido]-*N*-[4-(3-oxomorpholin-4-yl)phenyl]-4-methanesulfonylbutyramide,

30 (R)-2-[3-(4-chlorophenyl)ureido]-*N*-[4-(3-oxomorpholin-4-yl)-phenyl]-4-methanesulfonylbutyramide,

(R)-2-[3-(4-chlorophenyl)ureido]-*N*-[4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]-3-methanesulfonylpropionamide,

35 (S)-2-[3-(4-chlorophenyl)ureido]-*N*-[4-(2-oxo-2*H*-pyridin-1-yl)-phenyl]-3-methanesulfonylpropionamide,

(S)-2-[N-(4-chlorophenyl)carbamoxyloxy]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-methanesulfonylpropionamide,

(R)-2-[N-(4-chlorophenyl)carbamoxyloxy]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-methanesulfonylpropionamide,

5

(R)-2-[3-(4-chlorophenyl)ureido]-N-[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]-4-methanesulfonylbutyramide,

(S)-2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-methanesulfonylpropionamide,

10

2-[N-(4-chlorophenyl)carbamoxyloxy]-N-[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]-3-methanesulfonylpropionamide,

2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-sulfopropionamide

15

2-[3-(4-chlorophenyl)ureido]-N-[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]-3-sulfopropionamide,

(S)-2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-(dimethoxyphosphoryl)propionamide,

20

2-[3-(4-chlorophenyl)ureido]-N-[4-(2-oxopiperidin-1-yl)phenyl]-3-(dimethoxyphosphoryl)propionamide,

2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-phosphonopropionamide,

25

2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-4-(methanesulfoximinyl)butyramide,

2-[3-(4-chlorophenyl)ureido]-N-[4-(2-oxo-2*H*-pyridin-1-yl)phenyl]-3-sulfamoylpropionamide,

30

2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-methanesulfonylaminopropionamide,

2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)phenyl]-3-sulfamoyloxypropionamide,

35

(R)-2-[3-(4-chlorophenyl)ureido]-N-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-3-methanesulfonylpropionamide,

(R)-2-[3-(4-chlorophenyl)ureido]-N-[4-(2-oxo-1,3-oxazinan-3-yl)-phenyl]-3-methanesulfonylpropionamide,

(R)-2-[3-(4-chlorophenyl)ureido]-N-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-4-methanesulfonylbutyramide,

(R)-2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)-phenyl]-3-sulfamoyloxypropionamide,

(R)-2-[3-(4-chlorophenyl)ureido]-N-[4-(3-oxomorpholin-4-yl)-phenyl]-3-(dimethoxyphosphoryl)propionamide,

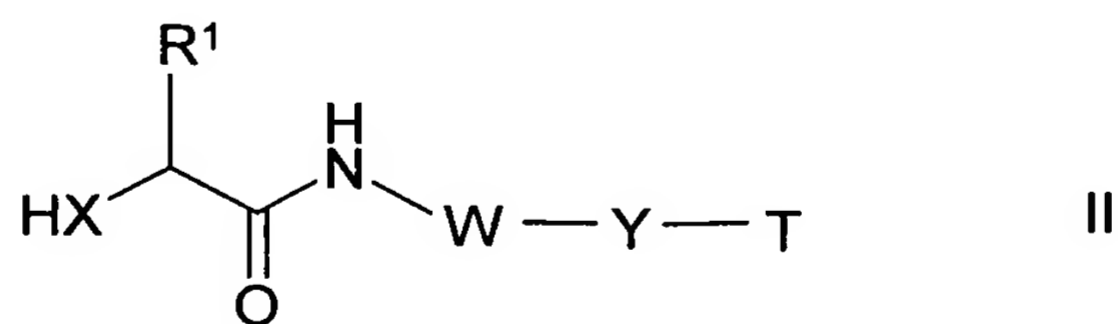
(R)-2-[3-(4-chlorophenyl)ureido]-N-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-3-(dimethoxyphosphoryl)propionamide,

(S)-2-[3-(4-chlorophenyl)ureido]-N-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-3-(dimethoxyphosphoryl)propionamide,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

17. Process for the preparation of compounds of the formula I according to Claims 1-16 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, characterised in that

a) a compound of the formula II



in which

R¹, T, W, X and Y have the meaning indicated in Claim 1,

is reacted with a compound of the formula III



in which

D has the meaning indicated in Claim 1,

or

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b) a compound of the formula IV

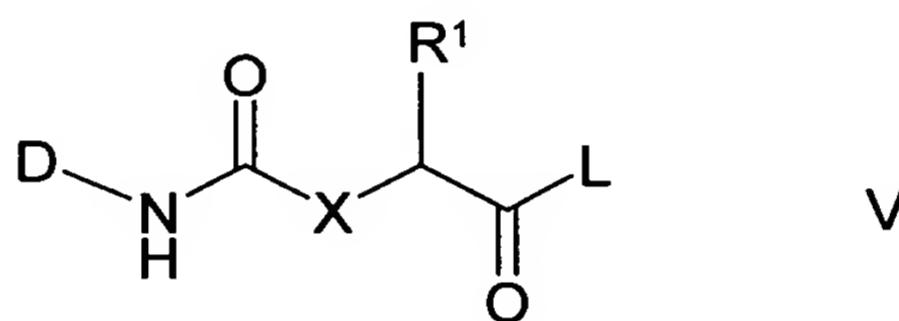


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in which W, Y and T have the meaning indicated in Claim 1,

is reacted with a compound of the formula V

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in which

L denotes Cl, Br, I or a free or reactively functionally modified OH group and

25

R¹, X and D have the meanings indicated in Claim 1,

or

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c) a radical R¹ is converted into another radical R¹ by oxidising the radical R¹

and/or a base or acid of the formula I is converted into one of its salts.

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18. Compounds of the formula I according to one or more of Claims 1 to 16 as inhibitors of coagulation factor Xa.

19. Compounds of the formula I according to one or more of Claims 1 to 16 as inhibitors of coagulation factor VIIa.
- 5 20. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 16 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.
- 10 21. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 16 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.
- 15 22. Use of compounds according to one or more of Claims 1 to 16 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.
- 20 23. Set (kit) consisting of separate packs of
- 25 (a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 16 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
- 30 and
- 35 (b) an effective amount of a further medicament active ingredient.

24. Use of compounds of the formula I according to one or more of Claims 1 to 16 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
5 for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases,
10 in combination with at least one further medicament active ingredient.

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